

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	1	"6596900".pn.	US-PGPUB; USPAT; EPO	OR	ON	2006/08/25 06:11

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 APR 04 STN AnaVist \$500 visualization usage credit offered
NEWS 5 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 6 MAY 11 KOREPAT updates resume
NEWS 7 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
USPATFULL/USPAT2
NEWS 9 MAY 30 The F-Term thesaurus is now available in CA/CAplus
NEWS 10 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 11 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 12 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 13 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 14 JUL 14 FSTA enhanced with Japanese patents
NEWS 15 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 16 AUG 09 INSPEC enhanced with 1898-1968 archive

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
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=> file reg

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| | | | |
|---------------------|------|------------------|------|
| SINCE FILE
ENTRY | 0.63 | TOTAL
SESSION | 0.63 |
|---------------------|------|------------------|------|

FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006
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STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4
DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
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Data\10726878\cmp 1.str



chain nodes :
8 9 10 11
ring nodes :
1 2 3 4 5 6 7
chain bonds :
6-8 6-10 8-9 10-11
ring bonds :
1-2 1-5 2-3 3-4 3-6 4-5 4-7 6-7
exact/norm bonds :
1-2 1-5 2-3 3-4 3-6 4-5 4-7 6-7 8-9
exact bonds :
6-8 6-10 10-11

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS

L1 STRUCTURE uploaded

=> s 11
SAMPLE SEARCH INITIATED 06:03:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1537 TO 2783
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

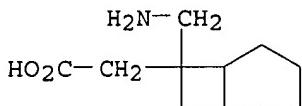
=> s 12 full
FULL SEARCH INITIATED 06:03:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2148 TO ITERATE

100.0% PROCESSED 2148 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> d 1-9

L3 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 709046-36-6 REGISTRY
ED Entered STN: 14 Jul 2004
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C10 H17 N O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

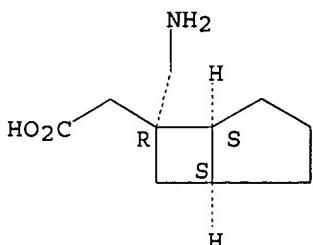


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473924-35-5 REGISTRY
ED Entered STN: 19 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).

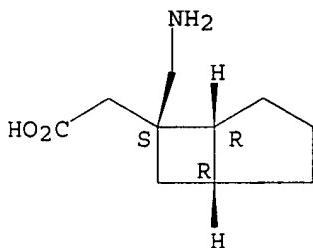


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473924-33-3 REGISTRY
ED Entered STN: 19 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6S)- (9CI)
(CA INDEX NAME)
OTHER NAMES:
CN [(1R,5R,6S)-6-(Aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid
FS STEREOSEARCH
MF C10 H17 N O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).

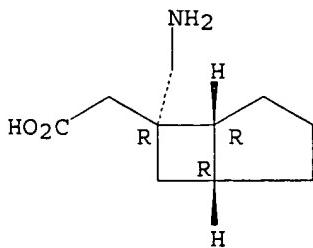


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

22 REFERENCES IN FILE CA (1907 TO DATE)
22 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-56-0 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6R)-rel-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

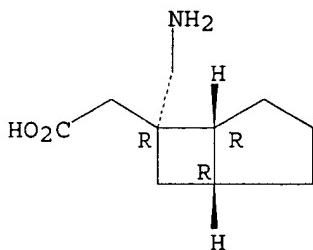


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-38-8 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1R,5R,6R)- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

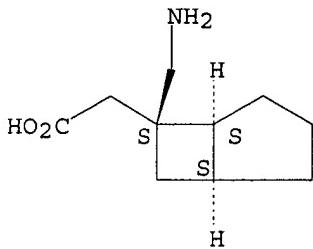


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-37-7 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, (1S,5S,6S)- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



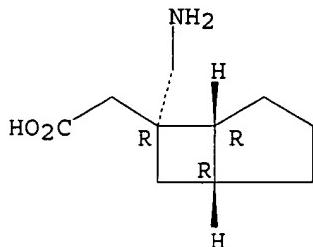
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-34-4 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,

(1R,5R,6R)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
CRN (473829-56-0)

Relative stereochemistry.



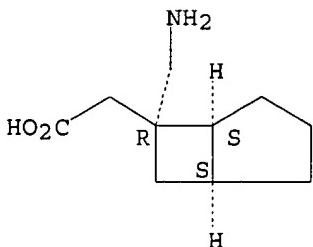
● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-33-3 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,
(1S,5S,6R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
CRN (473924-35-5)

Absolute stereochemistry. Rotation (+).



● HCl

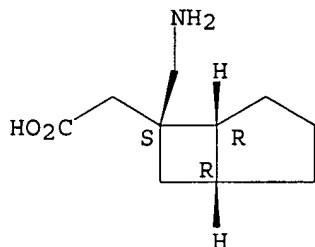
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2006 ACS on STN

RN 473829-32-2 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[3.2.0]heptane-6-acetic acid, 6-(aminomethyl)-, hydrochloride,
(1R,5R,6S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C10 H17 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
CRN (473924-33-3)

Absolute stereochemistry. Rotation (-).



● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

| => file caplus | SINCE FILE | TOTAL |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 184.48 | 185.11 |

FILE 'CAPLUS' ENTERED AT 06:04:08 ON 25 AUG 2006
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FILE COVERS 1907 - 25 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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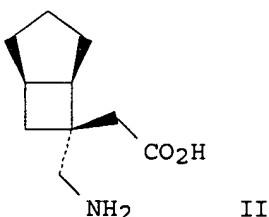
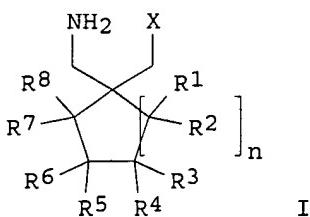
=> s 13
L4 22 L3

=> s 14 and (erectile or ejaculation)
 2587 ERECTILE
 1800 EJACULATION
 L5 1 L4 AND (ERECTILE OR EJACULATION)

=> d bib abs

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:531342 CAPLUS
 DN 141:88858
 TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction
 IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen
 PA Warner-Lambert Company LLC, USA
 SO PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 9

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 2004054563 | A1 | 20040701 | WO 2003-IB5682 | 20031203 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2451267 | AA | 20040613 | CA 2003-2451267 | 20031127 |
| | US 2004176456 | A1 | 20040909 | US 2003-726878 | 20031202 |
| | CA 2509611 | AA | 20040701 | CA 2003-2509611 | 20031203 |
| | AU 2003283708 | A1 | 20040709 | AU 2003-283708 | 20031203 |
| | EP 1572183 | A1 | 20050914 | EP 2003-775689 | 20031203 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | BR 2003016753 | A | 20051025 | BR 2003-16753 | 20031203 |
| | CN 1726015 | A | 20060125 | CN 2003-80105968 | 20031203 |
| | CN 1726021 | A | 20060125 | CN 2003-80106009 | 20031203 |
| | JP 2006515587 | T2 | 20060601 | JP 2004-560041 | 20031203 |
| | US 2004132636 | A1 | 20040708 | US 2003-731605 | 20031209 |
| | US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| | US 2004143014 | A1 | 20040722 | US 2003-735398 | 20031212 |
| PRAI | US 2002-433491P | P | 20021213 | | |
| | GB 2003-2657 | A | 20030205 | | |
| | US 2003-454074P | P | 20030312 | | |
| | WO 2003-IB5682 | W | 20031203 | | |
| OS | MARPAT 141:88858 | | | | |
| GI | | | | | |



AB The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | | |
|--|------------|---------|
| => FIL STNGUIDE | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 7.56 | 192.67 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -0.75 | -0.75 |

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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 18, 2006 (20060818/UP).

=> s 14
SUBSTANCE QUERIES NOT VALID IN THIS FILE
The logic expression entered contains L#s or saved query names which correspond to structures built by the STRUCTURE command or to screen sets. These must be searched in a substance file such as the REGISTRY file. In some files you may use a Registry Number answer set from a structure search as a search term or profile in some bibliographic file containing Registry Numbers, e.g. the CA file. For an explanation, enter "HELP CROSSOVER" at an arrow prompt (>).

| | | |
|--|------------|---------|
| => FIL CAPLUS | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.42 | 193.09 |
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| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -0.75 |

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FILE COVERS 1907 - 25 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> DIS L4 1 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1170963 CAPLUS
DOCUMENT NUMBER: 143:440755
TITLE: Combinations comprising α -2- δ ligands and NMDA receptor antagonists
INVENTOR(S): Hizue, Masanori; Imai, Aki; Toide, Katsuo
PATENT ASSIGNEE(S): Pfizer Japan, Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2005102390 | A2 | 20051103 | WO 2005-IB988 | 20050411 |
| WO 2005102390 | A3 | 20060511 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 2004-564374P P 20040422

ABSTRACT:

The invention relates to a synergistic combination of an α -2- δ ligand and an NMDA receptor antagonist (preferably an NR2B antagonist) or pharmaceutically-acceptable salts, esters or pharmaceutical compns. and their use in the treatment of pain, particularly neuropathic pain, and disorders of the central nervous system. Synthetic examples describe the preparation of α -2- δ ligands, e.g., (3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, useful in the combinations of the invention. The combination of 3-methylgabapentin as α -2- δ ligand and (-)-(R)-6-[2-[4-(3-fluorophenyl)-4-hydroxy-1-piperidinyl]-1-hydroxyethyl]-3,4-dihydro-2(1H)-quinolinone as NR2B antagonist produced synergy in ability to relieve neuropathic pain.

=> DIS L4 2 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:1170698 CAPLUS
 DOCUMENT NUMBER: 143:446634
 TITLE: Combinations comprising EP4-receptor antagonists and α 2 δ ligands for treating pain
 INVENTOR(S): Audoly, Laurent Pascal
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 267 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005102389 | A2 | 20051103 | WO 2005-IB935 | 20050408 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2004-563863P P 20040420
 ABSTRACT:
 The present invention relates to a combination of an EP4-receptor antagonist (e.g. 4-[[[5-fluoro-2-(4-fluorophenoxy)pyridin-3-yl]carbonyl]amino]methyl]benzoic acid) and an α 2 δ ligand (e.g. pregabalin), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly inflammatory, neuropathic, visceral and nociceptive pain. Although neither the compds. nor the methods of preparation are claimed, many example preps. (many of which are reproduced from previously published patents) are included. 4-[(1S)-1-[[[5-chloro-2-(3-fluorophenoxy)pyridin-3-yl]carbonyl]amino]ethyl]benzoic acid and pregabalin were tested for effectiveness against carrageenan-induced mech. hyperalgesia and the combination was significantly more effective than either substance alone.

=> DIS L4 3 IBIB IABS
 THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:1075617 CAPLUS
 DOCUMENT NUMBER: 143:367000
 TITLE: Preparation of atypical antipsychotics for combinations with α -2- δ ligands
 INVENTOR(S): Field, Mark John; Williams, Richard Griffith
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|---------------|--|----------|---------------|----------|
| WO 2005092318 | A1 | 20051006 | WO 2005-IB510 | 20050224 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

| | | |
|------------------------|-----------------|------------|
| PRIORITY APPLN. INFO.: | GB 2004-5200 | A 20040308 |
| | US 2004-560416P | P 20040407 |

ABSTRACT:

The instant invention relates to a combination, particularly a synergistic combination, of an α -2- δ ligand and an atypical antipsychotic, and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain.

(3R,4R,5R)-3-amino-4,5-dimethylheptanoic acid, an atypical antipsychotic, was prepared via a series of reactions starting with (S)-3-[(E)-2-methylpent-2-enoyl]-4-phenyloxazolidin-2-one. Example α -2- δ ligands include gabapentin.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 4 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:493505 CAPLUS
 DOCUMENT NUMBER: 143:32337
 TITLE: Calcium carbonate for stabilizing solid pharmaceutical compositions of amino acids
 INVENTOR(S): Razzano, Elena
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2005051384 | A1 | 20050609 | WO 2004-IB3743 | 20041112 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

| | | |
|------------------------|-----------------|------------|
| PRIORITY APPLN. INFO.: | GB 2003-27389 | A 20031125 |
| | US 2004-535845P | P 20040112 |

OTHER SOURCE(S): MARPAT 143:32337

ABSTRACT:

The present invention relates to the use of calcium carbonate as a stabilizing agent in solid pharmaceutical compns. comprising an amino acid as the pharmaceutically active agent, to the stabilized pharmaceutical compns. resulting therefrom and processes for their preparation. Thus, tablets were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst. cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00 mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75% relative humidity for 12 wk showed the presence of 98.9% of the active component.

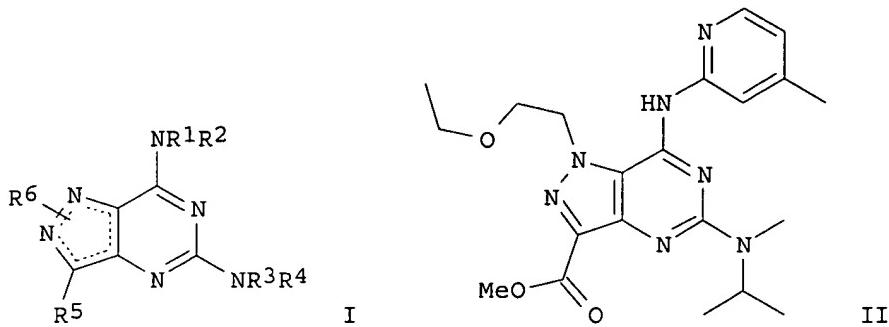
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 5 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:472159 CAPLUS
DOCUMENT NUMBER: 143:26627
TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines with phosphodiesterase-5 (PDE5) inhibiting activity
INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Dack, Kevin Neil; Fox, David Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian; Palmer, Michael John; Winslow, Carol Ann
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2005049616 | A1 | 20050602 | WO 2004-IB3747 | 20041112 |
| WO 2005049616 | C1 | 20060601 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004290643 | A1 | 20050602 | AU 2004-290643 | 20041112 |
| CA 2546987 | AA | 20050602 | CA 2004-2546987 | 20041112 |
| EP 1689751 | A1 | 20060816 | EP 2004-798876 | 20041112 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU | | | | |
| NL 1027568 | A1 | 20050526 | NL 2004-1027568 | 20041123 |
| NL 1027568 | C2 | 20051130 | | |
| US 2005245544 | A1 | 20051103 | US 2004-997191 | 20041124 |
| PRIORITY APPLN. INFO.: | | | GB 2003-27319 | A 20031124 |
| | | | US 2004-535797P | P 20040112 |
| | | | WO 2004-IB3747 | W 20041112 |

OTHER SOURCE(S): MARPAT 143:26627
GRAPHIC IMAGE:



ABSTRACT:

Title compds. [I; R1 = (substituted) cyclic group; R2 = H, alkyl; R3, R4 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; R5 = YCO₂R15, YR16; R6 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.; Y = bond, CH₂OCH₂, alkylene, cycloalkylene; R15 = H, (substituted) alkyl; R16 = tetrazolyl, trifluoromethyltriazolyl, methylsulfonyltriazolyl, etc.; dotted lines = double bonds to form an aromatic ring], were prepared Thus, title compound (II) (preparation given) inhibited PDE-5 with IC₅₀ = 0.075 nM.

REFERENCE COUNT : 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 6 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:259678 CAPLUS
DOCUMENT NUMBER: 142:341889
TITLE: Pharmaceuticals containing combinations of an acetylcholine esterase inhibitor and α -2- δ receptor ligands
INVENTOR(S): Field, Mark John; Williams, Richard Griffith
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 2005065176 | A1 | 20050324 | US 2004-936416 | 20040908 |
| CA 2539377 | AA | 20050331 | CA 2004-2539377 | 20040908 |
| WO 2005027975 | A1 | 20050331 | WO 2004-IB2981 | 20040908 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |

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|--|----|----------|----------------|------------|
| EP 1667722 | A1 | 20060614 | EP 2004-769370 | 20040908 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| PRIORITY APPLN. INFO.: | | | GB 2003-22140 | A 20030922 |
| | | | WO 2004-IB2981 | W 20040908 |

ABSTRACT:

The instant invention relates to a combination of α -2- δ ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain. Particularly preferred α -2- δ ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil (Aricept), tacrine (Cognex), rivastigmine (Exelon), physostigmine (Synaptac), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil. Thus pessary compns. contained the above ingredient 250, anhydrous dextrose 380, potato starch 363, and Mg stearate 7 mg. The preparation of some of the compds. is given.

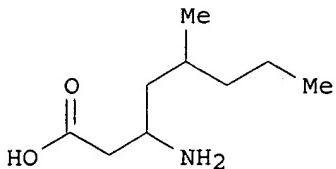
=> DIS L4 7 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2005:238701 CAPLUS
 DOCUMENT NUMBER: 142:316826
 TITLE: A preparation of combinations comprising alpha-2-delta ligands and dual serotonin-noradrenaline reuptake inhibitors, useful for treatment of pain
 INVENTOR(S): Dooley, David James; Field, Mark John; Williams, Richard Griffith
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 23 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| US 2005059715 | A1 | 20050317 | US 2004-935824 | 20040908 |
| AU 2004271800 | A1 | 20050324 | AU 2004-271800 | 20040906 |
| CA 2537402 | AA | 20050324 | CA 2004-2537402 | 20040906 |
| WO 2005025675 | A1 | 20050324 | WO 2004-IB2943 | 20040906 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| EP 1663398 | A1 | 20060607 | EP 2004-769341 | 20040906 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| PRIORITY APPLN. INFO.: | | | US 2003-502556P | P 20030912 |
| | | | WO 2004-IB2943 | W 20040906 |

GRAPHIC IMAGE:



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ABSTRACT:

The invention relates to a combination, particularly a synergistic combination, of an alpha-2-delta ligand and a dual serotonin-noradrenaline reuptake inhibitor (DSNRI) or one or both of a selective serotonin reuptake inhibitor (SSRI) and a selective noradrenaline reuptake inhibitor (SNRI), and pharmaceutically acceptable salts thereof, pharmaceutical compns. thereof and their use in the treatment of pain, particularly neuropathic pain (no biol. data). For instance, 3-amino-5-methyloctanoic acid hydrochloride (I•HCl) was prepared from (S)-citronellyl bromide in eight steps.

=> DIS L4 8 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162040 CAPLUS

DOCUMENT NUMBER: 142:233358

TITLE: Pharmaceutical composition using a nicotinic receptor partial agonist- $\alpha 2\delta$ ligand combination for the treatment of obesity or to facilitate or promote weight loss

INVENTOR(S): Coe, Jotham W.; O'Neill, Brian T.; Sands, Steven B.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 19 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| US 2005043406 | A1 | 20050224 | US 2004-870208 | 20040617 |
| CA 2534271 | AA | 20050303 | CA 2004-2534271 | 20040809 |
| WO 2005018622 | A1 | 20050303 | WO 2004-IB2604 | 20040809 |
| WO 2005018622 | C1 | 20050428 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
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| EP 1658059 | A1 | 20060524 | EP 2004-744239 | 20040809 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-497353P | P 20030822 |

ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of obesity, an overweight condition and compulsive overeating. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an $\alpha 2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 9 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:162035 CAPLUS

DOCUMENT NUMBER: 142:233377

TITLE: Pharmaceutical composition and method using a combination of an opioid receptor antagonist and an $\alpha 2\delta$ ligand for the prevention and treatment of addiction in a mammal

INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| US 2005043345 | A1 | 20050224 | US 2004-870821 | 20040617 |
| CA 2535814 | AA | 20050303 | CA 2004-2535814 | 20040809 |
| WO 2005018670 | A1 | 20050303 | WO 2004-IB2602 | 20040809 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| EP 1658098 | A1 | 20060524 | EP 2004-744237 | 20040809 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-497372P | P 20030822 |
| | | | WO 2004-IB2602 | W 20040809 |

ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and an $\alpha 2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 10 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:160850 CAPLUS
DOCUMENT NUMBER: 142:233374
TITLE: Pharmaceutical composition using a combination of a nicotinic receptor partial agonist and an $\alpha 2\delta$ ligand for the prevention and treatment of addiction in a mammal
INVENTOR(S): Coe, Jotham W.; Sands, Steven B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| US 2005043407 | A1 | 20050224 | US 2004-879616 | 20040629 |
| CA 2535811 | AA | 20050303 | CA 2004-2535811 | 20040809 |
| WO 2005018621 | A1 | 20050303 | WO 2004-IB2603 | 20040809 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| EP 1658058 | A1 | 20060524 | EP 2004-744238 | 20040809 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-497350P | P 20030822 |
| | | | WO 2004-IB2603 | W 20040809 |

ABSTRACT:

Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, alc. dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of tobacco use or substance abuse or other behavioral dependencies. The pharmaceutical compns. are comprised of a therapeutically effective combination of a nicotinic receptor partial agonist and an $\alpha 2\delta$ ligand and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

=> DIS L4 11 IBIB IABS
THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:17019 CAPLUS
DOCUMENT NUMBER: 142:107448
TITLE: Combination of an allosteric inhibitor of matrix metalloproteinase-13 and a ligand to an alpha-2-delta receptor
INVENTOR(S): Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| US 2005004177 | A1 | 20050106 | US 2004-883899 | 20040702 |
| WO 2005002585 | A1 | 20050113 | WO 2004-IB2075 | 20040621 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| EP 1680125 | A1 | 20060719 | EP 2004-737084 | 20040621 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-484577P | P 20030702 |
| | | | WO 2004-IB2075 | W 20040621 |

OTHER SOURCE(S): MARPAT 142:107448

ABSTRACT:

This invention relates to a combination of an allosteric inhibitor of matrix metalloproteinase-13 (MMP-13), or a pharmaceutically acceptable salt thereof, and a ligand to an alpha-2-delta receptor, or a pharmaceutically acceptable salt thereof, a pharmaceutical composition comprising the combination, and a method of using the combination to treat a disease or disorder in a mammal responsive to treatment in one aspect by an allosteric inhibitor of MMP-13 and in the same or a different aspect by a ligand to an alpha-2-delta receptor, such as cartilage damage and joint diseases. Preparation of 4-[[3-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoylamino]methyl]benzoic acid as the allosteric inhibitor of MMP-13 is exemplified.

=> DIS L4 12 IBIB IABS
 THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:965255 CAPLUS
 DOCUMENT NUMBER: 141:410950
 TITLE: Preparation of 5,7-diaminopyrazolo[4,3-d]pyrimidines
as selective PDE5 inhibitors useful in the treatment
of hypertension
 INVENTOR(S): Bell, Andrew Simon; Brown, David Graham; Fox, David
Nathan Abraham; Marsh, Ian Roger; Morrell, Andrew Ian;
Palmer, Michael John; Winslow, Carol Ann
 PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
 SOURCE: PCT Int. Appl., 279 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2004096810 | A1 | 20041111 | WO 2004-IB1433 | 20040422 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, | | | | |

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | |
| AU 2004234158 | A1 20041111 | AU 2004-234158 | 20040422 |
| CA 2523831 | AA 20041111 | CA 2004-2523831 | 20040422 |
| EP 1620437 | A1 20060201 | EP 2004-728868 | 20040422 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| BR 2004009903 | A 20060425 | BR 2004-9903 | 20040422 |
| CN 1780841 | A 20060531 | CN 2004-80011467 | 20040422 |
| NL 1026074 | A1 20041101 | NL 2004-1026074 | 20040428 |
| NL 1026074 | C2 20050809 | | |
| US 2005043325 | A1 20050224 | US 2004-834484 | 20040429 |
| NO 2005004404 | A 20051124 | NO 2005-4404 | 20050922 |
| PRIORITY APPLN. INFO.: | | | |
| OTHER SOURCE(S) : MARPAT 141:410950 | | | |
| GRAPHIC IMAGE: | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:

Title compds. I [wherein R1 = (un)substituted cycloalkyl, cycloalkenyl, (un)substituted pyridin-2-yl, (un)fused Ph, etc.; R2 = H, alkyl; R3, R4 = independently (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or NR3R4 = piperazin-1-yl, monocyclic, saturated polycyclic; R5 = (un)substituted halo/alkyl, alkenyl, alkynyl, cycloalkyl; R6 = H, (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, etc.] were prepared as selective PDE5 inhibitors. For example, II•2HCl was prepared from (4-Methylpyridin-2-yl)amine, dichloride III (general preparation given), and tert-Bu piperazine-1-carboxylate. I gave IC₅₀ values < 10,000 nM in an in vitro assay for PDE5 inhibition. Thus, I are used for treating hypertension.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 13 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

| | |
|--|--|
| L4 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN | |
| ACCESSION NUMBER: 2004:531356 CAPLUS | |
| DOCUMENT NUMBER: 141:65106 | |
| TITLE: Calcium channel α -2-8 subunit ligands to treat chronic obstructive pulmonary disease (COCD), chronic cough, and other diseases | |
| INVENTOR(S): Bertrand, Claude Philippe; Chovet, Maria Emilia Pereira Chicau; Geppetti, Pierangelo; Taylor, Charles Price, Jr.; Thorpe, Andrew John; Wustrow, David Juergen | |
| PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA | |

SOURCE: PCT Int. Appl., 53 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2004054577 | A1 | 20040701 | WO 2003-IB5640 | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
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| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2451267 | AA | 20040613 | CA 2003-2451267 | 20031127 |
| US 2004176456 | A1 | 20040909 | US 2003-726878 | 20031202 |
| AU 2003303037 | A1 | 20040709 | AU 2003-303037 | 20031203 |
| CN 1726015 | A | 20060125 | CN 2003-80105968 | 20031203 |
| CN 1726021 | A | 20060125 | CN 2003-80106009 | 20031203 |
| US 2004132636 | A1 | 20040708 | US 2003-731605 | 20031209 |
| US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| US 2004143014 | A1 | 20040722 | US 2003-735398 | 20031212 |
| PRIORITY APPLN. INFO.: | | | US 2002-433491P | P 20021213 |
| | | | GB 2003-2657 | A 20030205 |
| | | | US 2003-454074P | P 20030312 |
| | | | WO 2003-IB5640 | W 20031203 |

OTHER SOURCE(S): MARPAT 141:65106

ABSTRACT:

The invention discloses the use of an calcium channel α -2- δ subunit ligand in the treatment of chronic obstructive pulmonary disease (COPD) and diseases associated with a diagnosis of COPD, and particularly to the treatment of chronic cough, which may be unrelated to COPD. Compound preparation is included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 14 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:531342 CAPLUS
 DOCUMENT NUMBER: 141:88858
 TITLE: A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction
 INVENTOR(S): Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

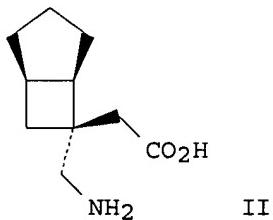
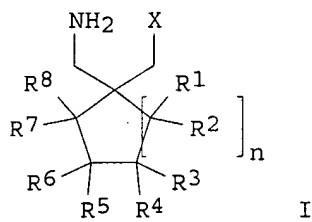
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

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|--|----|----------|------------------|------------|
| WO 2004054563 | A1 | 20040701 | WO 2003-IB5682 | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2451267 | AA | 20040613 | CA 2003-2451267 | 20031127 |
| US 2004176456 | A1 | 20040909 | US 2003-726878 | 20031202 |
| CA 2509611 | AA | 20040701 | CA 2003-2509611 | 20031203 |
| AU 2003283708 | A1 | 20040709 | AU 2003-283708 | 20031203 |
| EP 1572183 | A1 | 20050914 | EP 2003-775689 | 20031203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003016753 | A | 20051025 | BR 2003-16753 | 20031203 |
| CN 1726015 | A | 20060125 | CN 2003-80105968 | 20031203 |
| CN 1726021 | A | 20060125 | CN 2003-80106009 | 20031203 |
| JP 2006515587 | T2 | 20060601 | JP 2004-560041 | 20031203 |
| US 2004132636 | A1 | 20040708 | US 2003-731605 | 20031209 |
| US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| US 2004143014 | A1 | 20040722 | US 2003-735398 | 20031212 |
| PRIORITY APPLN. INFO.: | | | US 2002-433491P | P 20021213 |
| | | | GB 2003-2657 | A 20030205 |
| | | | US 2003-454074P | P 20030312 |
| | | | WO 2003-IB5682 | W 20031203 |

OTHER SOURCE(S) :

MARPAT 141:88858

GRAPHIC IMAGE:



ABSTRACT:

The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R₁, R₂, R₃, R₄, R₅, R₆, R₇, and R₈ are independently selected from H or C₁-6alkyl, or R₈ and R₆ or R₆ and R₄ are taken together to form C₃-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 15 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:531340 CAPLUS

DOCUMENT NUMBER: 141:89004

TITLE:

Use of alpha-2-delta ligands to treat lower urinary tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives and other compounds as ligands for such use

INVENTOR(S):

Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon Lemppiere; Wustrow, David Juergen

PATENT ASSIGNEE(S):

Warner-Lambert Company Llc, USA

SOURCE:

PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

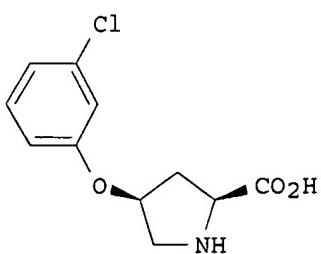
9

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004054560 | A1 | 20040701 | WO 2003-IB5729 | 20031203 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2509605 | AA | 20040701 | CA 2003-2509605 | 20031203 |
| AU 2003303041 | A1 | 20040709 | AU 2003-303041 | 20031203 |
| EP 1572173 | A1 | 20050914 | EP 2003-813233 | 20031203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003016572 | A | 20051004 | BR 2003-16572 | 20031203 |
| CN 1720029 | A | 20060111 | CN 2003-80105291 | 20031203 |
| JP 2006511606 | T2 | 20060406 | JP 2005-502472 | 20031203 |
| US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| NO 2005003355 | A | 20050711 | NO 2005-3355 | 20050711 |
| PRIORITY APPLN. INFO.: | | | US 2002-433491P | P 20021213 |
| | | | GB 2003-2657 | A 20030205 |
| | | | US 2003-454074P | P 20030312 |
| | | | WO 2003-IB5729 | W 20031203 |

OTHER SOURCE(S): MARPAT 141:89004

GRAPHIC IMAGE:



ABSTRACT:

Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically

acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF

(98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 16 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:513533 CAPLUS
DOCUMENT NUMBER: 141:47364
TITLE: Prodrugs of fused GABA analogs, pharmaceutical compositions and uses thereof
INVENTOR(S): Gallop, Mark A.
PATENT ASSIGNEE(S): Xenopore, Inc., USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004052360 | A1 | 20040624 | WO 2003-US39701 | 20031211 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003297927 | A1 | 20040630 | AU 2003-297927 | 20031211 |
| US 2004147455 | A1 | 20040729 | US 2003-734689 | 20031211 |
| US 7060727 | B2 | 20060613 | | |
| PRIORITY APPLN. INFO.: | | | US 2002-432871P | P 20021211 |
| | | | US 2002-433216P | P 20021212 |
| | | | WO 2003-US39701 | W 20031211 |

OTHER SOURCE(S): MARPAT 141:47364

ABSTRACT:

The present invention relates generally to prodrugs of fused GABA analogs, pharmaceutical compns. of prodrugs of fused GABA analogs, methods of making prodrugs of fused GABA analogs and methods of using prodrugs of fused GABA analogs and pharmaceutical compns. of prodrugs of fused GABA analogs to treat or prevent various diseases. Claimed compds. include ($1\alpha, 3\alpha, 5\alpha$) (3-aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid

and [(1S,5S,6R)-6-aminomethylbicyclo[3.2.0]hept-6-yl]acetic acid.

=> DIS L4 17 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:308400 CAPLUS
DOCUMENT NUMBER: 140:287120
TITLE: Preparation of cyclic nitromethyl acetic acid derivatives
INVENTOR(S): Derrick, Andrew Michael
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004031124 | A1 | 20040415 | WO 2003-IB4249 | 20030922 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2498186 | AA | 20040415 | CA 2003-2498186 | 20030922 |
| AU 2003263517 | A1 | 20040423 | AU 2003-263517 | 20030922 |
| EP 1556334 | A1 | 20050727 | EP 2003-799026 | 20030922 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003014954 | A | 20050802 | BR 2003-14954 | 20030922 |
| JP 2006501297 | T2 | 20060112 | JP 2004-541074 | 20030922 |
| US 2004116525 | A1 | 20040617 | US 2003-677837 | 20031002 |
| US 6911555 | B2 | 20050628 | | |
| PRIORITY APPLN. INFO.: | | | GB 2002-23072 | A 20021004 |
| | | | US 2002-421867P | P 20021028 |
| | | | WO 2003-IB4249 | W 20030922 |

OTHER SOURCE(S): MARPAT 140:287120

ABSTRACT:

The invention relates cyclic nitromethyl acetic acid derivs. for use as intermediates in the preparation of cyclic and bicyclic amino acids. Salts of (1R,5R,6S)-[6-(nitromethyl)bicyclo[3.2.0]hept-6-yl]acetic acid (I) or the racemate are claimed. Thus, condensation of (1R,5R)-bicyclo[3.2.0]heptan-6-one with tri-Et phosphonoacetate, followed by reaction with nitromethane and saponification, afforded nitro acid I, which was converted to the cyclohexylamine salt.

Reduction of the nitro group by hydrogenation over Pt/C afforded (1R,5R,6S)-[6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 18 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:308394 CAPLUS

DOCUMENT NUMBER: 140:287119

TITLE: Preparation of bicyclo[3.2.0]hept-6-ylideneacetate intermediates in the synthesis of therapeutic fused bicyclic amino acids

INVENTOR(S): Gladwell, Iain Robert; Pettman, Alan John

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

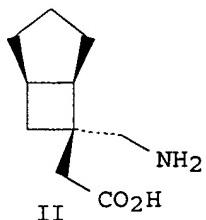
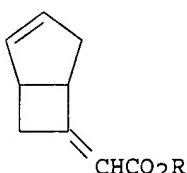
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004031115 | A1 | 20040415 | WO 2003-IB4179 | 20030922 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2499863 | AA | 20040415 | CA 2003-2499863 | 20030922 |
| AU 2003263487 | A1 | 20040423 | AU 2003-263487 | 20030922 |
| EP 1551789 | A1 | 20050713 | EP 2003-799019 | 20030922 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003015046 | A | 20050816 | BR 2003-15046 | 20030922 |
| JP 2006501296 | T2 | 20060112 | JP 2004-541065 | 20030922 |
| US 2004138498 | A1 | 20040715 | US 2003-677836 | 20031002 |
| US 7018818 | B2 | 20060328 | | |
| PRIORITY APPLN. INFO.: | | | GB 2002-23070 | A 20021004 |
| | | | US 2002-421868P | P 20021028 |
| | | | WO 2003-IB4179 | W 20030922 |

OTHER SOURCE(S): MARPAT 140:287119

GRAPHIC IMAGE:



ABSTRACT:

The invention presents compds. I (R is H or a suitable carboxylic acid-protecting group) or stereoisomers and their ring-saturated derivs., which are intermediates in the preparation of therapeutic fused bicyclic amino acids. The synthesis comprises reaction of bicyclo[3.2.0]hept-2-en-6-one or bicyclo[3.2.0]heptan-6-one with a phosphonoacetate derivative. In the examples, (\pm)-Et bicyclo[3.2.0]hept-6-ylideneacetate was prepared from bicyclo[3.2.0]heptan-6-one and tri-Et phosphonoacetate and underwent subsequent

enzymic hydrolysis, esterification, nitromethylation, saponification, and hydrogenation to afford bicyclic amino acid II.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 19 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:162589 CAPLUS
DOCUMENT NUMBER: 140:193110
TITLE: Fused bicyclic or tricyclic amino acids, their preparation, and their use in the treatment of fibromyalgia
INVENTOR(S): Blakemore, David Clive; Bryans., Kistom. Stephen; Williams, Sophie Caroline
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom. Stephen
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004016260 | A1 | 20040226 | WO 2003-IB3546 | 20030806 |
| WO 2004016260 | C1 | 20040910 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2494811 | AA | 20040226 | CA 2003-2494811 | 20030806 |
| AU 2003250481 | A1 | 20040303 | AU 2003-250481 | 20030806 |
| EP 1545491 | A1 | 20050629 | EP 2003-787963 | 20030806 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003013432 | A | 20050712 | BR 2003-13432 | 20030806 |
| JP 2005539092 | T2 | 20051222 | JP 2005-502021 | 20030806 |
| US 2004092591 | A1 | 20040513 | US 2003-640547 | 20030813 |
| PRIORITY APPLN. INFO.: | | | GB 2002-19024 | A 20020815 |
| | | | GB 2002-23067 | A 20021004 |
| | | | US 2002-421866P | P 20021028 |
| | | | WO 2003-IB3546 | W 20030806 |

OTHER SOURCE(S): MARPAT 140:193110

ABSTRACT:

The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or more of the compds. for use in the treatment of fibromyalgia are also included.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 20 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:162588 CAPLUS
DOCUMENT NUMBER: 140:210798
TITLE: Synergistic combination of an α 2 δ ligand
and a PDEV inhibitor for use in the treatment of pain
INVENTOR(S): Field, Mark John; Williams, Richard Griffith
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004016259 | A1 | 20040226 | WO 2003-IB3476 | 20030804 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2495433 | AA | 20040226 | CA 2003-2495433 | 20030804 |
| AU 2003249476 | A1 | 20040303 | AU 2003-249476 | 20030804 |
| EP 1536782 | A1 | 20050608 | EP 2003-787957 | 20030804 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013484 | A | 20050621 | BR 2003-13484 | 20030804 |
| JP 2006502139 | T2 | 20060119 | JP 2004-528754 | 20030804 |
| US 2004092591 | A1 | 20040513 | US 2003-640547 | 20030813 |
| NO 2005000782 | A | 20050408 | NO 2005-782 | 20050214 |
| PRIORITY APPLN. INFO.: | | | GB 2002-19024 | A 20020815 |
| | | | GB 2002-23067 | A 20021004 |
| | | | US 2002-421866P | P 20021028 |
| | | | WO 2003-IB3476 | W 20030804 |

ABSTRACT:

The invention relates to a combination of an α 2 δ ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred α 2 δ ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil. Combinations of gabapentin and sildenafil on CCI-induced allodynia showed synergic effects over those effects with the drugs administered alone. (3S,5R)-3-amino-5-methyloctanoic acid was prepared as an example of an α 2 δ ligand.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 21 IBIB IABS

THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:678656 CAPLUS

DOCUMENT NUMBER: 139:202522
 TITLE: Combinations of an alpha-2-delta ligand with a selective inhibitor of cyclooxygenase-2
 INVENTOR(S): Taylor, Charles Price, Jr.
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
 SOURCE: PCT Int. Appl., 135 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2003070237 | A1 | 20030828 | WO 2003-IB534 | 20030212 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2476438 | AA | 20030828 | CA 2003-2476438 | 20030212 |
| AU 2003246864 | A1 | 20030909 | AU 2003-246864 | 20030212 |
| EP 1480639 | A1 | 20041201 | EP 2003-742460 | 20030212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003007906 | A | 20041221 | BR 2003-7906 | 20030212 |
| CN 1635887 | A | 20050706 | CN 2003-804356 | 20030212 |
| JP 2005523281 | T2 | 20050804 | JP 2003-569193 | 20030212 |
| US 2003199567 | A1 | 20031023 | US 2003-366798 | 20030214 |
| NO 2004003947 | A | 20040921 | NO 2004-3947 | 20040921 |
| PRIORITY APPLN. INFO.: | | | US 2002-359295P | P 20020222 |
| | | | US 2002-404365P | P 20020819 |
| | | | WO 2003-IB534 | W 20030212 |

ABSTRACT:

The invention relates to a combination, comprising a selective inhibitor of COX-2, or a pharmaceutically acceptable salt thereof, and a ligand for calcium channel $\alpha 2\delta$ subunit, or a pharmaceutically acceptable salt thereof, and valdecoxib. Examples of selective inhibitors of COX-2 include valdecoxib, rofecoxib, and celecoxib. Examples of $\alpha 2\delta$ ligands include gabapentin, pregabalin, (3S,4S)-(1-aminomethyl-3,4-dimethyl-cyclopentyl)-acetic acid, and 3-(1-aminomethyl-cyclohexymethyl)-4H-[1,2,4]oxadiazol-5-one hydrochloride (I). The combinations are useful for treating certain diseases including cartilage damage, inflammation, pain, and arthritis. For example, capsules containing 25 mg each of valdecoxib and I were prepared

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> DIS L4 22 IBIB IABS
 THE ESTIMATED COST FOR THIS REQUEST IS 2.74 U.S. DOLLARS
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:Y

L4 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:832747 CAPLUS
 DOCUMENT NUMBER: 137:338131
 TITLE: Preparation of fused bicyclic or tricyclic amino acids
 INVENTOR(S): Blakemore, David Clive; Bryans, Justin Stephen;
 Williams, Sophie Caroline
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 92 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002085839 | A1 | 20021031 | WO 2002-IB1146 | 20020403 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
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| GB 2374595 | A1 | 20021023 | GB 2001-9635 | 20010419 |
| CA 2444053 | AA | 20021031 | CA 2002-2444053 | 20020403 |
| EP 1379494 | A1 | 20040114 | EP 2002-716996 | 20020403 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300517 | A | 20040415 | EE 2003-517 | 20020403 |
| BR 2002008922 | A | 20040420 | BR 2002-8922 | 20020403 |
| JP 2004527544 | T2 | 20040909 | JP 2002-583367 | 20020403 |
| NZ 528151 | A | 20050429 | NZ 2005-528151 | 20020403 |
| CN 1720219 | A | 20060111 | CN 2002-808445 | 20020403 |
| US 2003078300 | A1 | 20030424 | US 2002-124210 | 20020416 |
| US 6596900 | B2 | 20030722 | | |
| ZA 2003007097 | A | 20040913 | ZA 2003-7097 | 20030911 |
| BG 108182 | A | 20040930 | BG 2003-108182 | 20030917 |
| NO 2003004642 | A | 20031209 | NO 2003-4642 | 20031017 |
| PRIORITY APPLN. INFO.: | | | GB 2001-9635 | A 20010419 |
| | | | GB 2001-25807 | A 20011026 |
| | | | WO 2002-IB1146 | W 20020403 |

OTHER SOURCE(S): MARPAT 137:338131

ABSTRACT:

Bicyclic or tricyclic amino acids were prepared for use in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathol. disorders, sleep disorders, visceral pain disorders, and gastrointestinal disorders. Pharmaceutical compns. containing one or more of the compds. are also included. Thus, [(1R,5R,6S)-6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et cyanoacetate.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 69.94 | 263.03 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -16.50 | -17.25 |

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LAST RELOADED: Aug 18, 2006 (20060818/UP).

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|--|------------------|---------------|--|
| => file reg | | | |
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION | |
| FULL ESTIMATED COST | 0.66 | 263.69 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION | |
| CA SUBSCRIBER PRICE | 0.00 | -17.25 | |

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DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

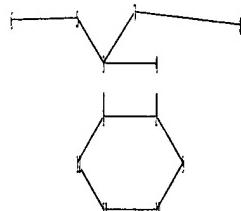
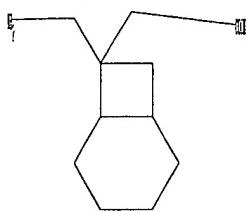
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

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Uploading C:\Documents and Settings\mgrafteo\My Documents\Critical
Data\10726878\cmp 2.str



chain nodes :

5 6 7 8

ring nodes :

1 2 3 4 9 10 11 12

chain bonds :

3-5 3-7 5-6 7-8

ring bonds :

1-3 1-2 1-12 2-4 2-9 3-4 9-10 10-11 11-12

exact/norm bonds :

1-3 1-2 1-12 2-4 2-9 3-4 5-6 9-10 10-11 11-12

exact bonds :
3-5 3-7 7-8

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom

L6 STRUCTURE UPLOADED

=> s 16
SAMPLE SEARCH INITIATED 06:29:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 108 TO ITERATE

100.0% PROCESSED 108 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1537 TO 2783
PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

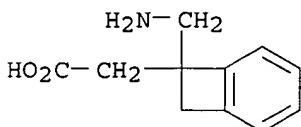
=> s 16 full
FULL SEARCH INITIATED 06:29:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2148 TO ITERATE

100.0% PROCESSED 2148 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L8 10 SEA SSS FUL L6

=> d 1-10

L8 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 760140-93-0 REGISTRY
ED Entered STN: 10 Oct 2004
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C11 H13 N O2
CI COM
SR CA

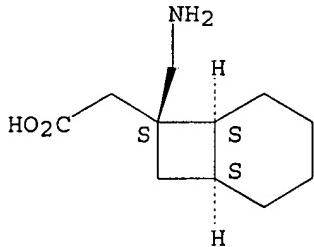


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-58-2 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C11 H19 N O2
CI COM
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

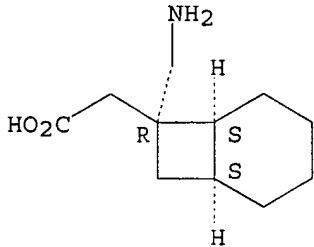


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-57-1 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-
(9CI) (CA INDEX NAME)
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Relative stereochemistry.

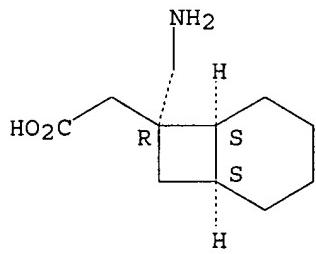


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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-42-4 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C11 H19 N O2
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

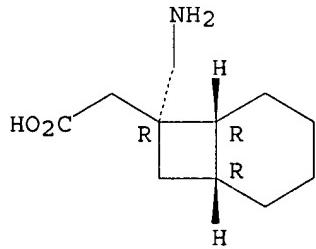


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5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-41-3 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)
FS STEREOSEARCH
MF C11 H19 N O2
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

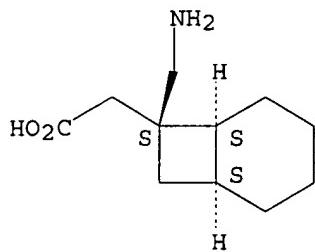


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L8 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-40-2 REGISTRY
ED Entered STN: 18 Nov 2002
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

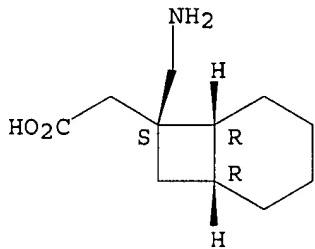


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5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-39-9 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)
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LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.

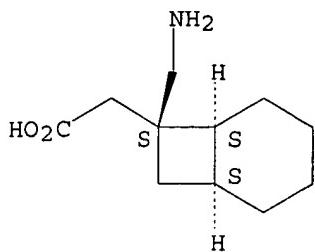


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-36-6 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,
(1R,6R,7R)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H19 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
CRN (473829-58-2)

Relative stereochemistry.



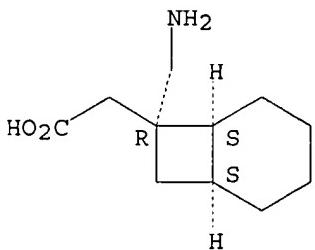
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 473829-35-5 REGISTRY
ED Entered STN: 18 Nov 2002
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,
(1R,6R,7S)-rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H19 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL
CRN (473829-57-1)

Relative stereochemistry.



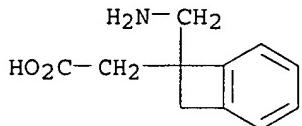
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 132205-59-5 REGISTRY
ED Entered STN: 22 Feb 1991
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-,
hydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-,
hydrochloride, (+)-
MF C11 H13 N O2 . Cl H

SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)
CRN (760140-93-0)



● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

| | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
| COST IN U.S. DOLLARS | | |
| FULL ESTIMATED COST | 185.94 | 449.63 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE
ENTRY | TOTAL
SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -17.25 |

FILE 'CAPLUS' ENTERED AT 06:30:03 ON 25 AUG 2006
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FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> s 18
L9 6 L8

=> s 19 and (ejeculat? or erectile)
6 EJECULAT?
2587 ERECTILE
L10 0 L9 AND (EJECULAT? OR ERECTILE)

=> s 19 and (ejaculat? or erectile)

5209 EJACULAT?

2587 ERECTILE

L11 1 L9 AND (EJACULAT? OR ERECTILE)

=> d

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531342 CAPLUS

DN 141:88858

TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 9

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | WO 2004054563 | A1 | 20040701 | WO 2003-IB5682 | 20031203 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2451267 | AA | 20040613 | CA 2003-2451267 | 20031127 |
| | US 2004176456 | A1 | 20040909 | US 2003-726878 | 20031202 |
| | CA 2509611 | AA | 20040701 | CA 2003-2509611 | 20031203 |
| | AU 2003283708 | A1 | 20040709 | AU 2003-283708 | 20031203 |
| | EP 1572183 | A1 | 20050914 | EP 2003-775689 | 20031203 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | BR 2003016753 | A | 20051025 | BR 2003-16753 | 20031203 |
| | CN 1726015 | A | 20060125 | CN 2003-80105968 | 20031203 |
| | CN 1726021 | A | 20060125 | CN 2003-80106009 | 20031203 |
| | JP 2006515587 | T2 | 20060601 | JP 2004-560041 | 20031203 |
| | US 2004132636 | A1 | 20040708 | US 2003-731605 | 20031209 |
| | US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| | US 2004143014 | A1 | 20040722 | US 2003-735398 | 20031212 |
| PRAI | US 2002-433491P | P | 20021213 | | |
| | GB 2003-2657 | A | 20030205 | | |
| | US 2003-454074P | P | 20030312 | | |
| | WO 2003-IB5682 | W | 20031203 | | |
| OS | MARPAT 141:88858 | | | | |

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 19

L12 6 L8

=> d 1-6 bib abs hitstr

L12 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:493505 CAPLUS

DN 143:32337

TI Calcium carbonate for stabilizing solid pharmaceutical compositions of

amino acids

IN Razzano, Elena

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | WO 2005051384 | A1 | 20050609 | WO 2004-IB3743 | 20041112 |
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG | | | | |

PRAI GB 2003-27389 A 20031125

US 2004-535845P P 20040112

OS MARPAT 143:32337

AB The present invention relates to the use of calcium carbonate as a stabilizing agent in solid pharmaceutical compns. comprising an amino acid as the pharmaceutically active agent, to the stabilized pharmaceutical compns. resulting therefrom and processes for their preparation. Thus, tablets were prepared containing (+)-(2S)-5-amino-2-[(1-n-propyl-1H-imidazol-4-yl)methyl]pentanoic acid (active component) 31.13 mg, microcryst. cellulose 32.31 mg, calcium carbonate 32.31 mg, croscarmellose sodium 3.00 mg, and magnesium stearate 1.25 mg. Tablets stored at 40° and 75% relative humidity for 12 wk showed the presence of 98.9% of the active component.

IT 473829-39-9 473829-40-2 473829-41-3
473829-42-4

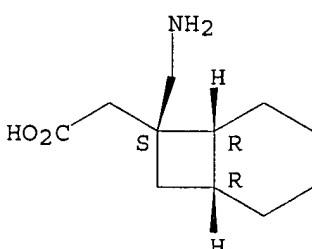
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(calcium carbonate stabilization of amino acid-containing solid dosage forms)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

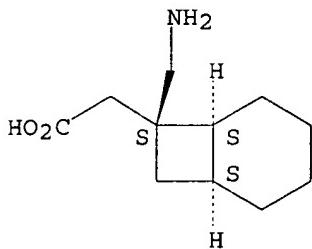
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

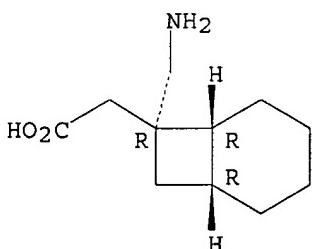
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

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(CA INDEX NAME)

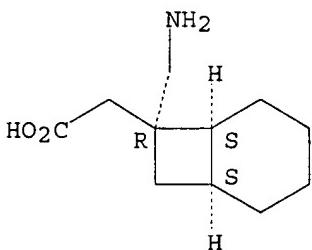
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531342 CAPLUS

DN 141:88858

TI A preparation of aminocarboxylic acid derivatives as alpha-2-delta ligands, useful for the treatment of sexual dysfunction

IN Taylor, Charles Price, Jr; Thorpe, Andrew John; Van Der Graaf, Pieter Hadewijn; Wayman, Christopher Peter; Wustrow, David Juergen

PA Warner-Lambert Company LLC, USA

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 9

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

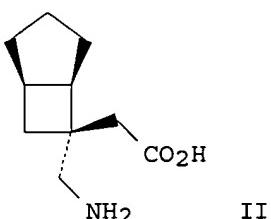
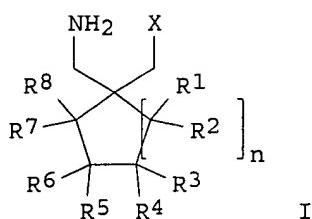
PI WO 2004054563

A1 20040701

WO 2003-IB5682

20031203

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | |
| CA 2451267 | AA 20040613 | CA 2003-2451267 | 20031127 |
| US 2004176456 | A1 20040909 | US 2003-726878 | 20031202 |
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| EP 1572183 | A1 20050914 | EP 2003-775689 | 20031203 |
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| BR 2003016753 | A 20051025 | BR 2003-16753 | 20031203 |
| CN 1726015 | A 20060125 | CN 2003-80105968 | 20031203 |
| CN 1726021 | A 20060125 | CN 2003-80106009 | 20031203 |
| JP 2006515587 | T2 20060601 | JP 2004-560041 | 20031203 |
| US 2004132636 | A1 20040708 | US 2003-731605 | 20031209 |
| US 2004180958 | A1 20040916 | US 2003-732613 | 20031210 |
| US 2004143014 | A1 20040722 | US 2003-735398 | 20031212 |
| PRAI US 2002-433491P | P 20021213 | | |
| GB 2003-2657 | A 20030205 | | |
| US 2003-454074P | P 20030312 | | |
| WO 2003-IB5682 | W 20031203 | | |
| OS MARPAT 141:88858 | | | |
| GI | | | |



AB The invention relates to a preparation of aminocarboxylic acid derivs., e.g. I [wherein: R1, R2, R3, R4, R5, R6, R7, and R8 are independently selected from H or C1-6alkyl, or R8 and R6 or R6 and R4 are taken together to form C3-7 cycloalkyl ring, etc.; n = 0-2; X is a carboxylic acid or carboxylic acid bioisostere], as alpha-2-delta ligands, useful for the treatment of premature ejaculation. For instance, delayed ejaculation in the presence of alpha-2-delta ligand II and effect of compound II on copulatory behavior in rapid ejaculating rats were demonstrated. Compound II increased ejaculation latency by 58% in rapidly ejaculating conscious rats.

IT 473829-39-9P 473829-40-2P 473829-41-3P

473829-42-4P

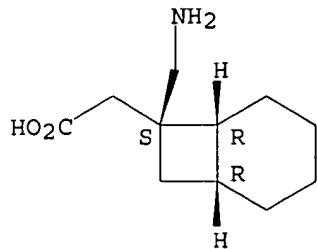
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminocarboxylic acid derivs. as alpha-2-delta ligands,
useful for the treatment of sexual dysfunction)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

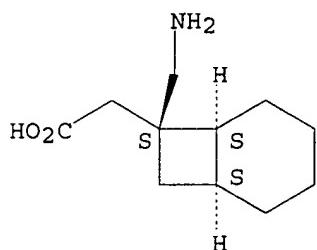
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

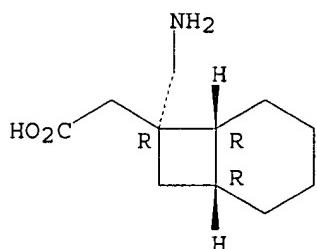
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

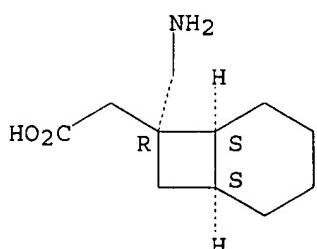
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

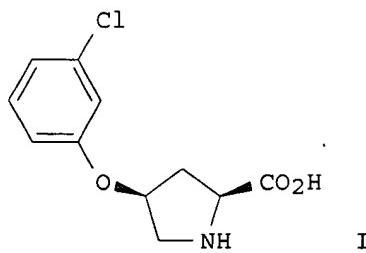


RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:531340 CAPLUS
 DN 141:89004
 TI Use of alpha-2-delta ligands to treat lower urinary tract symptoms associated with overactive bladder or benign prostatic hyperplasia, and the preparation of 4-substituted pyrrolidine-2-carboxylic acid derivatives and other compounds as ligands for such use
 IN Taylor, Charles Price, Jr.; Thorpe, Andrew John; Westbrook, Simon Lempriere; Wustrow, David Juergen
 PA Warner-Lambert Company Llc, USA
 SO PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 9

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|-----------|----------|------------------|----------|
| PI | WO 2004054560 | A1 | 20040701 | WO 2003-IB5729 | 20031203 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2509605 | AA | 20040701 | CA 2003-2509605 | 20031203 |
| | AU 2003303041 | A1 | 20040709 | AU 2003-303041 | 20031203 |
| | EP 1572173 | A1 | 20050914 | EP 2003-813233 | 20031203 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | BR 2003016572 | A | 20051004 | BR 2003-16572 | 20031203 |
| | CN 1720029 | A | 20060111 | CN 2003-80105291 | 20031203 |
| | JP 2006511606 | T2 | 20060406 | JP 2005-502472 | 20031203 |
| | US 2004180958 | A1 | 20040916 | US 2003-732613 | 20031210 |
| | NO 2005003355 | A | 20050711 | NO 2005-3355 | 20050711 |
| PRAI | US 2002-433491P | P | 20021213 | | |
| | GB 2003-2657 | A | 20030205 | | |
| | US 2003-454074P | P | 20030312 | | |
| | WO 2003-IB5729 | W | 20031203 | | |
| OS | MARPAT | 141:89004 | | | |
| GI | | | | | |



AB Disclosed is the use of an alpha-2-delta ligand, or a pharmaceutically acceptable derivative thereof, for the manufacture of a medicament for the treatment of lower urinary tract symptoms (LUTS), other than urinary incontinence, which are associated with overactive bladder (OAB) and/or benign prostatic hyperplasia (BPH). Such use of approx. 35 specific

compds. and/or their derivs. is claimed. For instance, (2S,4R)-4-hydroxypyrrolidine-1,2-dicarboxylic acid 1-tert-Bu 2-Me ester was etherified with 3-chlorophenol under Mitsunobu conditions (86%), followed by saponification of the Me ester with LiOH in aqueous THF (98%), and hydrolysis of the tert-Bu ester with HCl in dioxane/THF (86.7%), to give acid I, a use-claimed ligand, as the HCl salt, on a 7-kg scale. In tests of gabapentin, a well-known alpha-2-delta ligand, on the micturition reflex of anesthetized rats, a significant, dose-dependent increase in interval between voiding episodes was observed relative to control animals, with a reduction in voids per h from approx. 5 to <1.

IT 473829-39-9 473829-40-2 473829-41-3

473829-42-4

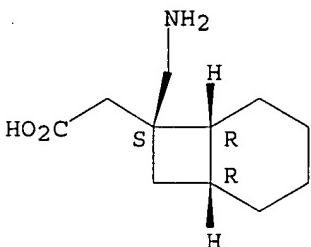
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug use candidate; preparation of alpha-2-delta ligands to treat lower urinary tract symptoms)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI) (CA INDEX NAME)

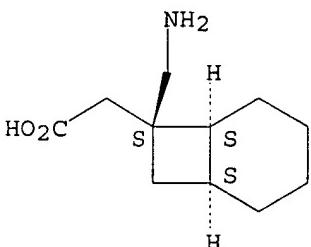
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI) (CA INDEX NAME)

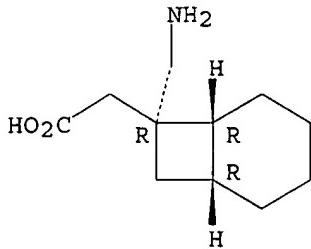
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

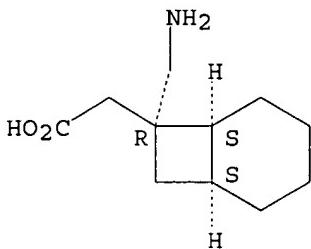
CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 473829-42-4 CAPLUS
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



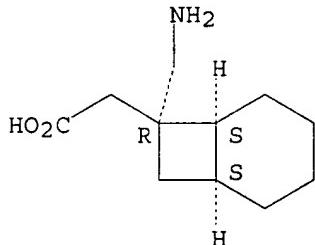
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:162589 CAPLUS
 DN 140:193110
 TI Fused bicyclic or tricyclic amino acids, their preparation, and their use
 in the treatment of fibromyalgia
 IN Blakemore, David Clive; Bryans., Kistom. Stephen; Williams, Sophie
 Caroline
 PA Pfizer Limited, UK; Pfizer Inc.; Bryans. Kistom. Stephen
 SO PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|---|----------|-----------------|----------|
| PI | WO 2004016260 | A1 | 20040226 | WO 2003-IB3546 | 20030806 |
| | WO 2004016260 | C1 | 20040910 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | CA 2494811 | AA | 20040226 | CA 2003-2494811 | 20030806 |
| | AU 2003250481 | A1 | 20040303 | AU 2003-250481 | 20030806 |
| | EP 1545491 | A1 | 20050629 | EP 2003-787963 | 20030806 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| | BR 2003013432 | A | 20050712 | BR 2003-13432 | 20030806 |

JP 2005539092 T2 20051222 JP 2005-502021 20030806
 US 2004092591 A1 20040513 US 2003-640547 20030813
 PRAI GB 2002-19024 A 20020815
 GB 2002-23067 A 20021004
 US 2002-421866P P 20021028
 WO 2003-IB3546 W 20030806
 OS MARPAT 140:193110
 AB The compds. of the invention are bicyclic or tricyclic amino acids useful in the treatment of fibromyalgia. Pharmaceutical compns. containing one or more of the compds. for use in the treatment of fibromyalgia are also included.
 IT 473829-35-5P 473829-36-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (fused bicyclic or tricyclic amino acid preparation and use in treatment of fibromyalgia)
 RN 473829-35-5 CAPLUS
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7S)-rel- (9CI) (CA INDEX NAME)

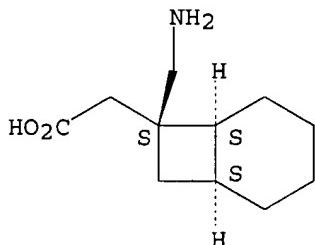
Relative stereochemistry.



● HCl

RN 473829-36-6 CAPLUS
 CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



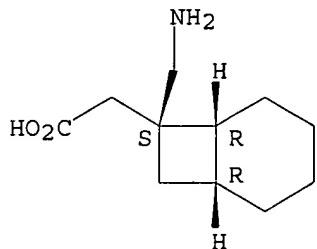
● HCl

IT 473829-39-9 473829-40-2 473829-41-3
 473829-42-4 473829-57-1 473829-58-2
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (fused bicyclic or tricyclic amino acid preparation and use in treatment of fibromyalgia)

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

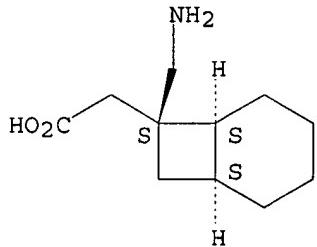
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

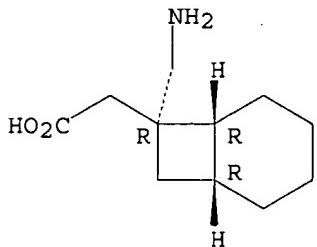
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

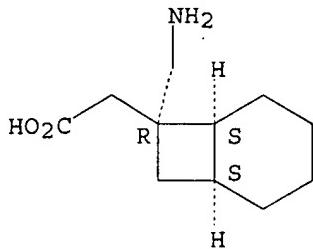
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

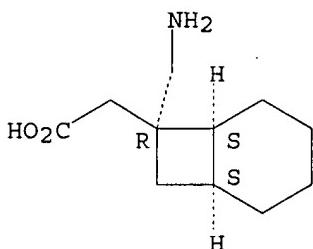
Absolute stereochemistry.



RN 473829-57-1 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-
(9CI) (CA INDEX NAME)

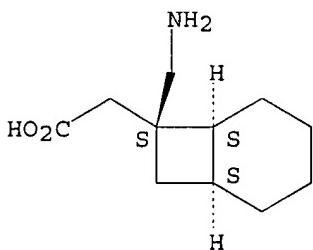
Relative stereochemistry.



RN 473829-58-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-
(9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:832747 CAPLUS

DN 137:338131

TI Preparation of fused bicyclic or tricyclic amino acids

IN Blakemore, David Clive; Bryans, Justin Stephen; Williams, Sophie Caroline

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | WO 2002085839 | A1 | 20021031 | WO 2002-IB1146 | 20020403 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 GB 2374595 A1 20021023 GB 2001-9635 20010419
 CA 2444053 AA 20021031 CA 2002-2444053 20020403
 EP 1379494 A1 20040114 EP 2002-716996 20020403
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EE 200300517 A 20040415 EE 2003-517 20020403
 BR 2002008922 A 20040420 BR 2002-8922 20020403
 JP 2004527544 T2 20040909 JP 2002-583367 20020403
 NZ 528151 A 20050429 NZ 2005-528151 20020403
 CN 1720219 A 20060111 CN 2002-808445 20020403
 US 2003078300 A1 20030424 US 2002-124210 20020416
 US 6596900 B2 20030722
 ZA 2003007097 A 20040913 ZA 2003-7097 20030911
 BG 108182 A 20040930 BG 2003-108182 20030917
 NO 2003004642 A 20031209 NO 2003-4642 20031017
 PRAI GB 2001-9635 A 20010419
 GB 2001-25807 A 20011026
 WO 2002-IB1146 W 20020403

OS MARPAT 137:338131

AB Bicyclic or tricyclic amino acids were prepared for use in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathol. disorders, sleep disorders, visceral pain disorders, and gastrointestinal disorders. Pharmaceutical compns. containing one or more of the compds. are also included. Thus, [(1R,5R,6S)-6-(aminomethyl)bicyclo[3.2.0]hept-6-yl]acetic acid hydrochloride was prepared by treating Me [(1R,5R,6S)-6-(isocyanatomethyl)bicyclo[3.2.0]hept-6-yl]acetate with 6N HCl under reflux for 18 h. The isocyanate was obtained from bicyclo[3.2.0]hept-2-en-6-one by a multistep procedure, which includes reaction of (1RS, 5RS)-bicyclo[3.2.0]heptan-6-one with Et cyanoacetate.

IT 473829-35-5P 473829-36-6P 473829-39-9P
 473829-40-2P 473829-41-3P 473829-42-4P
 473829-57-1P 473829-58-2P

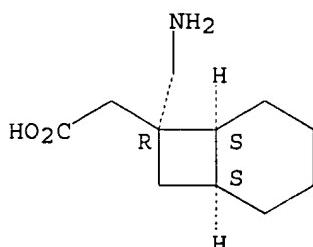
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused bicyclic or tricyclic amino acids)

RN 473829-35-5 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride, (1R,6R,7S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

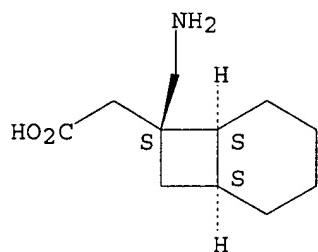


● HCl

RN 473829-36-6 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, hydrochloride,
(1R,6R,7R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

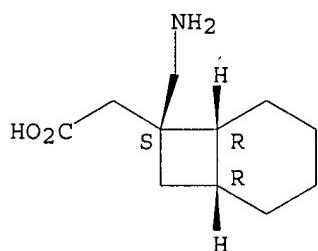


● HCl

RN 473829-39-9 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)- (9CI)
(CA INDEX NAME)

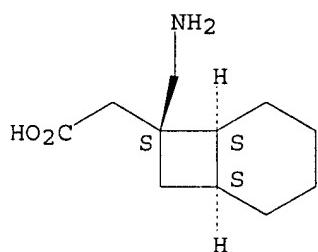
Absolute stereochemistry.



RN 473829-40-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7S)- (9CI)
(CA INDEX NAME)

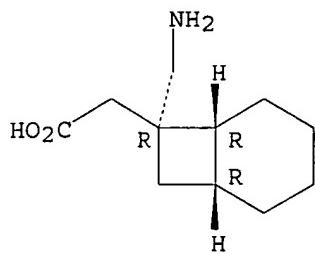
Absolute stereochemistry.



RN 473829-41-3 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)- (9CI)
(CA INDEX NAME)

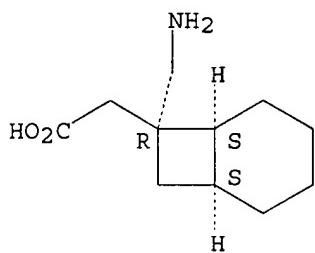
Absolute stereochemistry.



RN 473829-42-4 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1S,6S,7R)- (9CI)
(CA INDEX NAME)

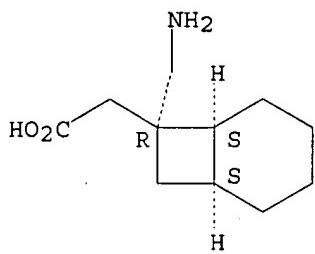
Absolute stereochemistry.



RN 473829-57-1 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7S)-rel-
(9CI) (CA INDEX NAME)

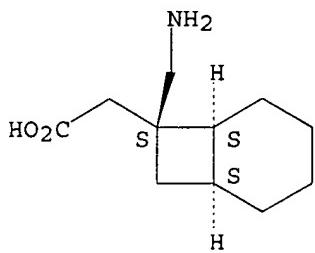
Relative stereochemistry.



RN 473829-58-2 CAPLUS

CN Bicyclo[4.2.0]octane-7-acetic acid, 7-(aminomethyl)-, (1R,6R,7R)-rel-
(9CI) (CA INDEX NAME)

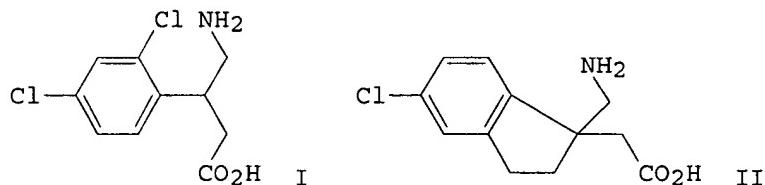
Relative stereochemistry.



RE.CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 1991:184942 CAPLUS
 DN 114:184942
 TI Synthesis and biochemical evaluation of baclofen analogs locked in the baclofen solid-state conformation
 AU Mann, Andre; Boulanger, Thierry; Brandau, Barbara; Durant, Francois; Evrard, Guy; Heaulme, Michel; Desaulles, Eric; Wermuth, Camille Georges
 CS Dep. Pharmacochim. Mol., Cent. Neurochim., Strasbourg, 67084, Fr.
 SO Journal of Medicinal Chemistry (1991), 34(4), 1307-13
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 114:184942
 GI

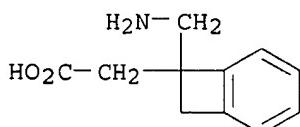


AB The synthesis of six close analogs of baclofen [3-(4-chlorophenyl)-4-aminobutyric acid] (BAC), a potent GABAB agonist, are reported. The compds. were designed starting from the structural informations contained in the solid state of BAC, regarded as a possible bioactive conformation, in which the p-chlorophenyl ring is perpendicular to the GABA backbone. A similar conformational situation was created by rigidifying the BAC structure by means of methylene, ethylene, or propylene units, or by introducing chlorine atoms into the ortho positions ("ortho effect"). Only compound I showed affinity for the GABAB receptor. Compound II, which was initially considered as representing the optimal mimic of the solid-state conformation of BAC, was surprisingly found inactive. An extensive conformational anal. was performed in order to evaluate their flexibility and the overlap of their conformational population with respect to BAC. For this purpose a distance map was generated from three possible pharmacophoric groups: the amino and the carboxylic functions, and the Ph ring. Finally, several explanations are proposed to account for the poor affinities of the prepared compds. such as steric hindrance or flexibility demand of the receptor.

IT 132205-59-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and binding by, of GABA receptor)

RN 132205-59-5 CAPLUS

CN Bicyclo[4.2.0]octa-1,3,5-triene-7-acetic acid, 7-(aminomethyl)-,
 hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 06:01:18 ON 25 AUG 2006)

FILE 'REGISTRY' ENTERED AT 06:03:09 ON 25 AUG 2006

L1 STRUCTURE UPLOADED
L2 1 S L1
L3 9 S L2 FULL

FILE 'CAPLUS' ENTERED AT 06:04:08 ON 25 AUG 2006

L4 22 S L3
L5 1 S L4 AND (ERECTILE OR EJACULATION)

FILE 'STNGUIDE' ENTERED AT 06:05:31 ON 25 AUG 2006

FILE 'CAPLUS' ENTERED AT 06:09:39 ON 25 AUG 2006

FILE 'STNGUIDE' ENTERED AT 06:22:32 ON 25 AUG 2006

FILE 'REGISTRY' ENTERED AT 06:29:16 ON 25 AUG 2006
L6 STRUCTURE UPLOADED
L7 1 S L6
L8 10 S L6 FULL

FILE 'CAPLUS' ENTERED AT 06:30:03 ON 25 AUG 2006

L9 6 S L8
L10 0 S L9 AND (EJECULAT? OR ERECTILE)
L11 1 S L9 AND (EJACULAT? OR ERECTILE)
L12 6 S L9

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 52.02 | 501.65 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -4.50 | -21.75 |

STN INTERNATIONAL LOGOFF AT 06:46:06 ON 25 AUG 2006